

his

(FILE 'HOME' ENTERED AT 09:43:16 ON 09 NOV 2004)

FILE 'CAPLUS' ENTERED AT 09:43:22 ON 09 NOV 2004

635 S 100-21-0/PROC

2674 S 100-21-0/PREP

443 S 100-21-0/PUR

3162 S L1 OR L2 OR L3

173 S L4 AND SLURRY

21 S L4 AND HEAT EXCHANG?

0 S L6 AND CRYSTALLIZER

2 S L6 AND CRYSTAL?

2 S L4 AND HEAT EXCHANG? AND HYDROGEN?

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

SSION NUMBER: 1991:493159 CAPLUS

UMENT NUMBER: 115:93159

LE: Improved heating process in purification of terephthalic acid by **hydrogenation**

ENTOR(S): Koch, Joachim; Koehler, Hartmut; Duelsen, Uwe; Wittkopf, Egon; Richter, Gerfried; Gollasch, Ralf; Noske, Lothar; Krentzlin, Wolf Ruediger; John, Karl Heinz

ENT ASSIGNEE(S): VEB Petrolchemisches Kombinat Schwedt, Germany

RCE: Ger. (East), 5 pp.

CODEN: GEXXA8

UMENT TYPE: Patent

UUAGE: German

ILY ACC. NUM. COUNT: 1

ENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 289891	A7	19910516	DD 1989-326797	19890322
ORITY APPLN. INFO.:			DD 1989-326797	19890322

An aqueous suspension of terephthalic acid (I) is heated to 277-287° in a series of **heat exchangers** under controlled conditions to prevent blockage of tubes in the **heat exchangers**. The heating process is useful in a **hydrogenation** process for the preparation of purified I.

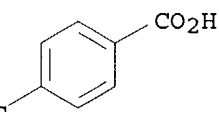
100-21-0P, Terephthalic acid, preparation

RL: IMF (Industrial manufacture); **PREP (Preparation)**

(manufacture of, purification by **hydrogenation** in, heating in)

100-21-0 CAPLUS

1,4-Benzenedicarboxylic acid (9CI) (CA INDEX NAME)



ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

SSION NUMBER: 1988:634900 CAPLUS

UMENT NUMBER: 109:234900

LE: Study on the breaking of titanium **heat exchanger** pipes because of corrosion of the deflectors

OR(S): Martinez, E.

ORATE SOURCE: Intercontinental Quim., S.A., Madrid, Spain

RCE: Revista Iberoamericana de Corrosion y Proteccion (1988), 19(3), 159-61

CODEN: RCPRDQ; ISSN: 0210-6604

UMENT TYPE: Journal

UUAGE: Spanish

The Ti **heat exchanger** pipes in contact with the deflector, underwent formation of brittle crystalline hydrides, by reaction with H evolved from corrosion of C steel deflectors. Deflectors manufactured with AISI-304 are more resistant to corrosion. The **heat exchanger** is used for heating residue streams with steam in a terephthalic acid manufacturing plant.

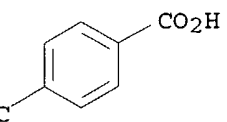
100-21-0P, Terephthalic acid, uses and miscellaneous

RL: PEP (Physical, engineering or chemical process); **PREP (Preparation); PROC (Process)**

(manufacture of, rupture of titanium **heat exchanger** tubes in, in contact with steel deflectors)

100-21-0 CAPLUS

1,4-Benzenedicarboxylic acid (9CI) (CA INDEX NAME)



(FILE 'HOME' ENTERED AT 15:39:13 ON 09 NOV 2004)

FILE 'CAPLUS' ENTERED AT 15:39:24 ON 09 NOV 2004
STRUCTURE UPLOADED
S L1

FILE 'REGISTRY' ENTERED AT 15:39:44 ON 09 NOV 2004
14 S L1

FILE 'CAPLUS' ENTERED AT 15:39:45 ON 09 NOV 2004
14 S L2
S L1

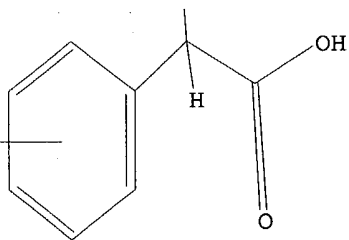
FILE 'REGISTRY' ENTERED AT 15:39:50 ON 09 NOV 2004
2634 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:39:52 ON 09 NOV 2004
4967 S L4 FULL
0 S L5 AND TRIFLUOROPHENYLACETIC ACID
61 S L5 AND MAGNESIUM
0 S L7 AND ALLYLAT?
0 S L7 AND TRIFLUOROBENZENE
3 S L5 AND TRIFLUOROBENZENE

ading C:\STNEXP4\QUERIES\0025.str

STRUCTURE UPLOADED

AS NO ANSWERS
STR



cture attributes must be viewed using STN Express query preparation.

11
EGISTRY INITIATED
tance data SEARCH and crossover from CAS REGISTRY in progress...
DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

LE SEARCH INITIATED 15:39:44 FILE 'REGISTRY'
LE SCREEN SEARCH COMPLETED - 5746 TO ITERATE

4% PROCESSED 1000 ITERATIONS 14 ANSWERS
MPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
CH TIME: 00.00.01

FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
ECTED ITERATIONS: 110376 TO 119464
ECTED ANSWERS: 1070 TO 2146

14 SEA SSS SAM L1

14 L2

11 full
EGISTRY INITIATED
tance data SEARCH and crossover from CAS REGISTRY in progress...
DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SEARCH INITIATED 15:39:51 FILE 'REGISTRY'
SCREEN SEARCH COMPLETED - 113959 TO ITERATE

0% PROCESSED 113959 ITERATIONS 2634 ANSWERS
CH TIME: 00.00.01

2634 SEA SSS FUL L1

4967 L4

> s 15 and trifluorophenylacetic acid
0 TRIFLUOROPHENYLACETIC
3895729 ACID
0 TRIFLUOROPHENYLACETIC ACID
(TRIFLUOROPHENYLACETIC(W)ACID)
0 L5 AND TRIFLUOROPHENYLACETIC ACID

> s 15 and magnesium
413454 MAGNESIUM
61 L5 AND MAGNESIUM

> s 17 and allylat?
7207 ALLYLAT?
0 L7 AND ALLYLAT?

> s 17 and trifluorobenzene
589 TRIFLUOROBENZENE
0 L7 AND TRIFLUOROBENZENE

> s 15 and trifluorobenzene
589 TRIFLUOROBENZENE
3 L5 AND TRIFLUOROBENZENE

> d 1-3 ibib abs hitstr

10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:331830 CAPLUS

DOCUMENT NUMBER: 140:339071

TITLE: Allylation and oxidation process for the preparation
of trifluorophenylacetic acids from trifluorophenyl
halides and allyl bromide

INVENTOR(S): Ikemoto, Norihiro; Dreher, Spencer D.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 5 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077901	A1	20040422	US 2003-680025	20031007
PRIORITY APPLN. INFO.:			US 2002-416891P	P 20021008

OTHER SOURCE(S): CASREACT 140:339071; MARPAT 140:339071

3 Trifluorophenylacetic acids [e.g., (2,4,5-Trifluorophenyl)acetic acid] are prepared in high yield and selectivity by using a Grignard reagent (e.g., isopropylmagnesium chloride) and an allylating agent (e.g., allyl bromide) to allylate a halotrifluorobenzene (e.g., 1-bromo-2,4,5-trifluorobenzene) to give an allyltrifluorobenzene (e.g., 1-allyl-2,4,5-trifluorobenzene) which is then subjected to catalytic (e.g., RuCl₃) oxidation with an oxidant (e.g., sodium periodate).

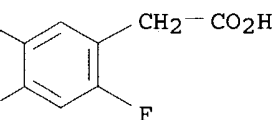
T 209995-38-0P, (2,4,5-Trifluorophenyl)acetic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

(allylation and oxidation process for the preparation of trifluorophenylacetic acids from trifluorophenyl halides and allyl bromide)

209995-38-0 CAPLUS

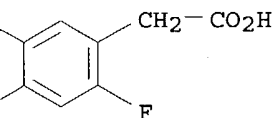
N Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



0 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 CESSION NUMBER: 2004:293442 CAPLUS
 CUMENT NUMBER: 140:321111
 TLE: Process for the synthesis of (trifluorophenyl)acetic
 acids
 VENTOR(S): Armstrong, Joseph D.; Dreher, Spencer D.; Ikemoto,
 Norihiro
 TENT ASSIGNEE(S): USA
 URCE: U.S. Pat. Appl. Publ., 6 pp., which
 CODEN: USXXCO
 CUMENT TYPE: Patent
 NGUAGE: English
 MILY ACC. NUM. COUNT: 1
 TENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004068141	A1	20040408	US 2003-679986	20031007
PRIORITY APPLN. INFO.:			US 2002-416790P	P 20021008

OTHER SOURCE(S): CASREACT 140:321111; MARPAT 140:321111
 Trifluorophenylacetic acids (e.g., 2,4,5-trifluorophenylacetic acid (I))
 are prepared in high yield and selectivity by the trifluorophenylation of a
 dialkyl malonate (e.g., di-Et malonate) with a trifluorophenyl halide
 (e.g., 1-bromo-2,4,5-trifluorobenzene) in the presence of a
 deprotonating agent (e.g., sodium tert-butoxide) using a Cu(I) salt (e.g.,
 cuprous chloride) as a catalyst to give a dialkyl
 (trifluorophenyl)malonate intermediate [e.g., di-Et 2-(2,4,5-
 trifluorophenyl)malonate] which is subjected to saponification with a base (e.g.,
 NaOH) and decarboxylation of the (trifluorophenyl)malonic acid [e.g.,
 2-(2,4,5-trifluorophenyl)malonic acid] with an acid (e.g., aqueous hydrogen
 chloride) to produce I.
 209995-38-0P, (2,4,5-Trifluorophenyl)acetic acid
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (process for the synthesis of (trifluorophenyl)acetic acids)
 209995-38-0 CAPLUS
 Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)

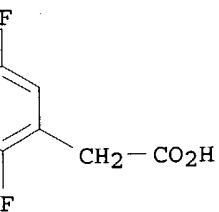


0 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 CESSION NUMBER: 1998:14123 CAPLUS
 CUMENT NUMBER: 128:127795
 TLE: Synthesis of (dihalophenyl)acetic acids using aromatic
 nucleophilic substitution strategy
 THOR(S): Kowalczyk, Bruce A.
 ORPORATE SOURCE: Roche Bioscience, Palo Alto, CA, 94304, USA
 SOURCE: Synthesis (1997), (12), 1411-1414
 CODEN: SYNTBF; ISSN: 0039-7881
 PUBLISHER: Georg Thieme Verlag
 CUMENT TYPE: Journal
 NGUAGE: English
 OTHER SOURCE(S): CASREACT 128:127795
 B A simple synthetic strategy to (dihalophenyl)acetates and specifically
 (3,5-difluorophenyl)acetate an important pharmaceutical intermediate was
 developed. The aromatic nucleophilic substitution of dihalofluorobenzenes
 using the anion of cyanoacetate yielded (dihalophenyl)cyanoacetates.
 Basic decarboxylation of the latter produced targeted
 (dihalophenyl)acetates.
 85068-27-5P 85068-28-6P 105184-38-1P
 145689-41-4P 188347-49-1P 202000-99-5P
 202001-00-1P 202001-01-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of (halophenyl)acetates by aromatic nucleophilic substitution)

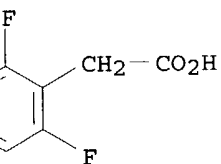
85068-27-5 CAPLUS

Benzeneacetic acid, 2,5-difluoro- (9CI) (CA INDEX NAME)



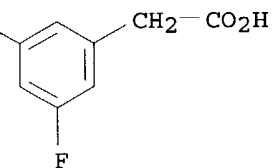
85068-28-6 CAPLUS

Benzeneacetic acid, 2,6-difluoro- (9CI) (CA INDEX NAME)



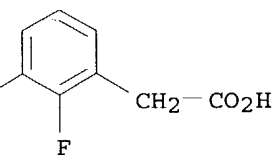
105184-38-1 CAPLUS

Benzeneacetic acid, 3,5-difluoro- (9CI) (CA INDEX NAME)



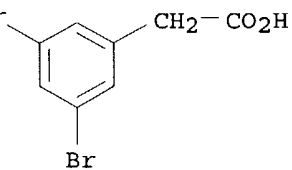
145689-41-4 CAPLUS

Benzeneacetic acid, 2,3-difluoro- (9CI) (CA INDEX NAME)



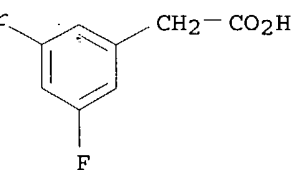
188347-49-1 CAPLUS

Benzeneacetic acid, 3,5-dibromo- (9CI) (CA INDEX NAME)

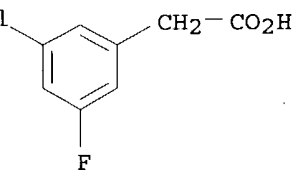


202000-99-5 CAPLUS

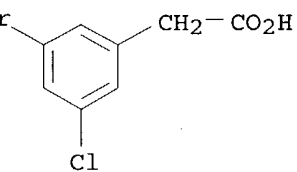
Benzeneacetic acid, 3-bromo-5-fluoro- (9CI) (CA INDEX NAME)

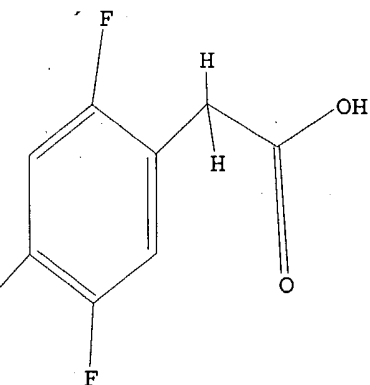


N 202001-00-1 CAPLUS
 N Benzeneacetic acid, 3-chloro-5-fluoro- (9CI) (CA INDEX NAME)



N 202001-01-2 CAPLUS
 N Benzeneacetic acid, 3-bromo-5-chloro- (9CI) (CA INDEX NAME)





structure attributes must be viewed using STN Express query preparation.

> s l23
REGISTRY INITIATED
 substance data SEARCH and crossover from CAS REGISTRY in progress...
 use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 16:03:00 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 17 TO ITERATE

00.0% PROCESSED 17 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

ALL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 93 TO 587
 PROJECTED ANSWERS: 1 TO 80

24 1 SEA SSS SAM L23

25 3 L24

> d ibib abs hitstr

25 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1997:521626 CAPLUS
 DOCUMENT NUMBER: 127:220366
 TITLE: Part 70. Supramolecular chemistry Non-covalent interactions in host-guest complexes with fluorinated phenyl compounds
 AUTHOR(S): Fei, Xiao; Hui, Yong-Zheng; Rudiger, Volker; Schneider, Hans-Jorg
 CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, Academia Sinica, Shanghai, 200032, Peop. Rep. China
 SOURCE: Journal of Physical Organic Chemistry (1997), 10(5), 305-310
 CODEN: JPOCEE; ISSN: 0894-3230
 PUBLISHER: Wiley
 DOCUMENT TYPE: Journal
 LANGUAGE: English

B Complexation consts. with the macrocyclic azoniacyclophane CP44 and Ph guest compds. with at least four fluorine atoms or alternatively protons at the ring were obtained by NMR shift titrns. in water. The fluorinated compds. show free energies of complexation which are smaller by

$\Delta\Delta G=3.4-7.7\text{ kJ mol}^{-1}$ in comparison with the protonated compds.

The NMR shifts induced upon 100% complexation (CIS values) were obtained simultaneously from non-linear least-squares fitting and indicate intra-cavity inclusion in all cases. The CIS values agree roughly with screening consts. calculated from aromatic ring current and linear elec. field effects, the latter resulting from the permanent charges at the host compound. Mol. mechanics calcns. (CHARMm) indicate that intracavity inclusion is possible with all compds. with negligible strain induced ($<1\text{ kJ mol}^{-1}$) in the macrocycle upon complexation. In contrast, α -cyclodextrin can accommodate fluorinated Ph compds. only at the rim of the cavity without larger strain. Preliminary data with α -cyclodextrin, obtained by competitive UV-visible titration with methyl orange, indicate again a smaller association free energy ($\Delta\Delta G=1.-7\text{ kJ mol}^{-1}$) for pentafluorophenol compared with normal phenol as guest.

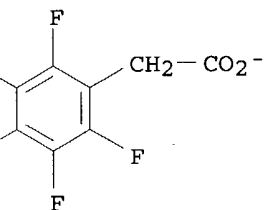
54006-37-0

RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)

(supramol. chemical and noncovalent interactions in host-guest complexes with fluorinated benzene compds.)

54006-37-0 CAPLUS

Benzeneacetic acid, 2,3,4,5,6-pentafluoro-, ion(1-) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

d 2-3 ibib abs hitstr

5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

CESSION NUMBER: 1989:422954 CAPLUS

CUMENT NUMBER: 111:22954

TLE: Gas phase ion chemistry of the acetic acid enolate anion $[\text{CH}_2\text{CO}_2\text{H}]^-$

THOR(S): O'Hair, Richard A. J.; Gronert, Scott; DePuy, Charles H.; Bowie, John H.

RPORATE SOURCE: Dep. Org. Chem., Univ. Adelaide, Adelaide, 5001, Australia

URCE: Journal of the American Chemical Society (1989), 111(8), 3105-6

CODEN: JACSAT; ISSN: 0002-7863

CUMENT TYPE: Journal

NGUAGE: English

F- and $\text{Me}_3\text{SiCH}_2\text{CO}_2\text{H}$ gives $[\text{CH}_2\text{CO}_2\text{H}]^-$ (I) and Me_3SiF in the gas phase. The ion mol. chemical of I is examined in a tandem flowing afterglow SIFT instrument. This basic anion ($\Delta G^\circ_{\text{acid}}[\text{CH}_3\text{CO}_2\text{H}] = 363 \pm 3\text{ kcal mol}^{-1}$) abstrs. D from MeOD to yield $\text{CH}_2\text{DCO}_2^-$, reacts with C_6F_6 to form $\text{C}_6\text{F}_5\text{CH}_2\text{CO}_2^-$, and forms HOSO_2^- and HOCO_2^- by reaction with SO_2 . The isomeric acetate ion MeCO_2^- undergoes none of these reactions. The collisional activation mass spectra of I indicates that energized ions may undergo conversion to the more stable acetate anion.

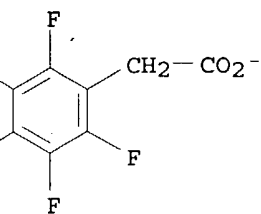
54006-37-0

RL: PRP (Properties)

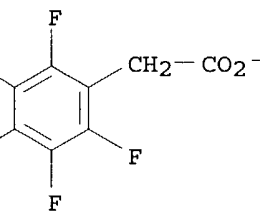
(gas phase formation and mass spectrum of)

54006-37-0 CAPLUS

Benzeneacetic acid, 2,3,4,5,6-pentafluoro-, ion(1-) (9CI) (CA INDEX NAME)



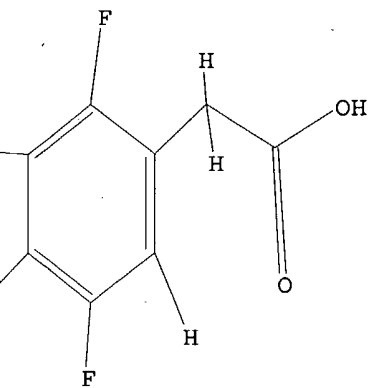
5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 CESSION NUMBER: 1974:580329 CAPLUS
 CUMENT NUMBER: 81:180329
 TLE: Electroorganic reactions. II. Mechanism of the Kolbe
 electrolysis of substituted phenylacetate ions
 THOR(S): Coleman, James P.; Lines, Robert; Utley, James H. P.;
 Weedon, Basil C. L.
 RPORATE SOURCE: Dep. Chem., Queen Mary Coll., London, UK
 URCE: Journal of the Chemical Society, Perkin Transactions
 2: Physical Organic Chemistry (1972-1999) (1974),
 (9), 1064-9
 CODEN: JCPKBH; ISSN: 0300-9580
 CUMENT TYPE: Journal
 NGUAGE: English
 The title reactions were examined by relating product distributions to
 electrochem. parameters, nuclear substitution, and the concentration of added
 NaClO4. The mechanism involved the adsorption of carboxylate ions; added
 anions and substituents caused surface effects which influenced the
 competition between radical and carbonium ion paths. For
 p-methoxyphenylacetate, oxidation was initiated by electron transfer from the
 aromatic nucleus. Relations between product distribution and structural,
 electrochem., and adsorption parameters, were derived from a steady-state
 kinetics anal.
54006-37-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (Kolbe electrolysis of, mechanism of)
 54006-37-0 CAPLUS
 Benzeneacetic acid, 2,3,4,5,6-pentafluoro-, ion(1-) (9CI) (CA INDEX NAME)



loading C:\STNEXP4\QUERIES\0025c.str

6 STRUCTURE UPLOADED

d
 6 HAS NO ANSWERS
 6 STR



Structure attributes must be viewed using STN Express query preparation.

L26

REGISTRY INITIATED

Distance data SEARCH and crossover from CAS REGISTRY in progress...
 DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SEARCH INITIATED 16:04:24 FILE 'REGISTRY'
 SCREEN SEARCH COMPLETED - 17 TO ITERATE

0% PROCESSED 17 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 REJECTED ITERATIONS: 93 TO 587
 REJECTED ANSWERS: 0 TO 0

0 SEA SSS SAM L26

0 L27

L26 full

REGISTRY INITIATED

Distance data SEARCH and crossover from CAS REGISTRY in progress...
 DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SEARCH INITIATED 16:04:31 FILE 'REGISTRY'
 SCREEN SEARCH COMPLETED - 261 TO ITERATE

0% PROCESSED 261 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

1 SEA SSS FUL L26

8 L29

ibib abs hitstr

SESSION NUMBER: 2004:857554 CAPLUS

SEQUENCE NUMBER: 141:314625

SUBJECT: Process for the preparation of β -amino acid amide dipeptidyl peptidase-IV inhibitors

INVENTOR(S): Angelaud, Remy; Armstrong, Joseph D., III; Askin, David; Balsells, Jaume; Hansen, Karl; Lee, Jaemoon; Maligres, Peter E.; Rivera, Nelo R.; Xiao, Yi; Zhong, Yong-Li

INVENT ASSIGNEE(S): Merck & Co. Inc., USA

PCT: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

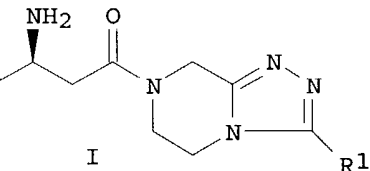
DOCUMENT TYPE: Patent

LANGUAGE: English

PRIORITY ACC. NUM. COUNT: 1

PRIORITY INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087650	A2	20041014	WO 2004-US8826	20040323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-457976P	P 20030327



The invention provides a novel process for the preparation of chiral β -amino acid amides I (Ar is Ph which may be substituted by halogen, trifluoromethyl or trifluoromethoxy; R1 is H, alkyl or fluoroalkyl) which are inhibitors of dipeptidyl peptidase-IV and thereby useful for the treatment of Type 2 diabetes. The process involves acylation of 5,6,7,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrazine (II) or a derivative with a (3R)-3-[(benzyloxy)amino]-4-arylbutanoic acid (III), followed by hydrogenolysis. In an example, I (Ar = 2,5-difluorophenyl, R1 = CF₃) was prepared from II.HCl 3-trifluoromethyl derivative (prepared from hydrazine, Et trifluoroacetate, chloroacetyl chloride, and ethylenediamine) and III (Ar = 2,5-difluorophenyl) prepared from 2,5-difluorophenylacetic acid, Meldrum's acid, and O-benzylhydroxylamine hydrochloride.

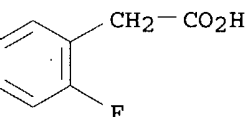
209995-38-0, 2 4 5 Trifluorophenylacetic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for preparation of triazolopyrazine β -amino acyl derivs. as dipeptidyl peptidase-IV inhibitors)

209995-38-0 CAPLUS

Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



s 209995-38-0/prep
8 209995-38-0
3220412 PREP/RL
1 2 209995-38-0/PREP
(209995-38-0 (L) PREP/RL)

s 209995-38-0/proc
8 209995-38-0
3579725 PROC/RL
2 0 209995-38-0/PROC
(209995-38-0 (L) PROC/RL)

d 131 1-2 ibib abs hitstr

1 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

CESSION NUMBER: 2004:331830 CAPLUS

CUMENT NUMBER: 140:339071

TLE: Allylation and oxidation process for the preparation
of trifluorophenylacetic acids from trifluorophenyl
halides and allyl bromide

VENTOR(S): Ikemoto, Norihiro; Dreher, Spencer D.

TENT ASSIGNEE(S): USA

URCE: U.S. Pat. Appl. Publ., 5 pp.

CODEN: USXXCO

CUMENT TYPE: Patent

NGUAGE: English

MILY ACC. NUM. COUNT: 1

TENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077901	A1	20040422	US 2003-680025	20031007
PRIORITY APPLN. INFO.:			US 2002-416891P	P 20021008

HER SOURCE(S): CASREACT 140:339071; MARPAT 140:339071

Trifluorophenylacetic acids [e.g., (2,4,5-Trifluorophenyl)acetic acid] are prepared in high yield and selectivity by using a Grignard reagent (e.g., isopropylmagnesium chloride) and an allylating agent (e.g., allyl bromide) to allylate a halotrifluorobenzene (e.g., 1-bromo-2,4,5-trifluorobenzene) to give an allyltrifluorobenzene (e.g., 1-allyl-2,4,5-trifluorobenzene) which is then subjected to catalytic (e.g., RuCl₃) oxidation with an oxidant (e.g., sodium periodate).

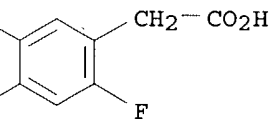
209995-38-0P, (2,4,5-Trifluorophenyl)acetic acid

RL: SPN (Synthetic preparation); **PREP (Preparation)**

(allylation and oxidation process for the preparation of trifluorophenylacetic acids from trifluorophenyl halides and allyl bromide)

209995-38-0 CAPLUS

Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



1 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

CESSION NUMBER: 2004:293442 CAPLUS

CUMENT NUMBER: 140:321111

TLE: Process for the synthesis of (trifluorophenyl)acetic
acids

VENTOR(S): Armstrong, Joseph D.; Dreher, Spencer D.; Ikemoto,
Norihiro

TENT ASSIGNEE(S): USA

URCE: U.S. Pat. Appl. Publ., 6 pp., which

CODEN: USXXCO

CUMENT TYPE: Patent

LANGUAGE: English
MILY_ACC. NUM. COUNT: 1
TENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004068141	A1	20040408	US 2003-679986	20031007
PRIORITY APPLN. INFO.:			US 2002-416790P	P 20021008

HER SOURCE(S): CASREACT 140:321111; MARPAT 140:321111

Trifluorophenylacetic acids (e.g., 2,4,5-trifluorophenylacetic acid (I)) are prepared in high yield and selectivity by the trifluorophenylation of a dialkyl malonate (e.g., di-Et malonate) with a trifluorophenyl halide (e.g., 1-bromo-2,4,5-trifluorobenzene) in the presence of a deprotonating agent (e.g., sodium tert-butoxide) using a Cu(I) salt (e.g., cuprous chloride) as a catalyst to give a dialkyl (trifluorophenyl)malonate intermediate [e.g., di-Et 2-(2,4,5-trifluorophenyl)malonate] which is subjected to saponification with a base (e.g., NaOH) and decarboxylation of the (trifluorophenyl)malonic acid [e.g., 2-(2,4,5-trifluorophenyl)malonic acid] with an acid (e.g., aqueous hydrogen chloride) to produce I.

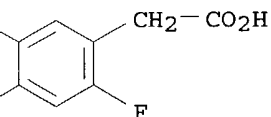
209995-38-0P, (2,4,5-Trifluorophenyl)acetic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

(process for the synthesis of (trifluorophenyl)acetic acids)

209995-38-0 CAPLUS

Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



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1 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

CESSION NUMBER: 2004:857554 CAPLUS

CUMENT NUMBER: 141:314625

TLE: Process for the preparation of β -amino acid amide
dipeptidyl peptidase-IV inhibitors

VENTOR(S): Angelaud, Remy; Armstrong, Joseph D., III; Askin,
David; Balsells, Jaume; Hansen, Karl; Lee, Jaemoon;
Maligres, Peter E.; Rivera, Nelo R.; Xiao, Yi; Zhong,
Yong-Li

TENT ASSIGNEE(S): Merck & Co. Inc., USA

URCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

CUMENT TYPE: Patent

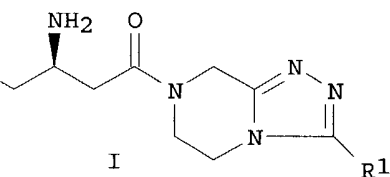
NGUAGE: English

MILY ACC. NUM. COUNT: 1

TENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087650	A2	20041014	WO 2004-US8826	20040323
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

RIORITY APPLN. INFO.: US 2003-457976P P 20030327



The invention provides a novel process for the preparation of chiral β -amino acid amides I (Ar is Ph which may be substituted by halogen, trifluoromethyl or trifluoromethoxy; R1 is H, alkyl or fluoroalkyl) which are inhibitors of dipeptidyl peptidase-IV and thereby useful for the treatment of Type 2 diabetes. The process involves acylation of 5,6,7,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrazine (II) or a derivative with a (3R)-3-[(benzyloxy)amino]-4-arylbutanoic acid (III), followed by hydrogenolysis. In an example, I (Ar = 2,5-difluorophenyl, R1 = CF3) was prepared from II.HCl 3-trifluoromethyl derivative (prepared from hydrazine, Et trifluoroacetate, chloroacetyl chloride, and ethylenediamine) and III (Ar = 2,5-difluorophenyl) prepared from 2,5-difluorophenylacetic acid, Meldrum's acid, and O-benzylhydroxylamine hydrochloride.

85068-27-5, 2 5 Difluorophenylacetic acid 209995-38-0, 2

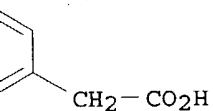
4 5 Trifluorophenylacetic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

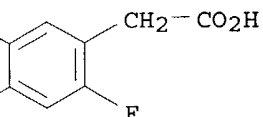
(process for preparation of triazolopyrazine β -amino acyl derivs. as dipeptidyl peptidase-IV inhibitors)

85068-27-5 CAPLUS

Benzeneacetic acid, 2,5-difluoro- (9CI) (CA INDEX NAME)

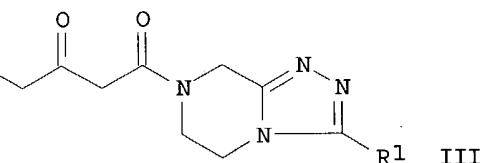
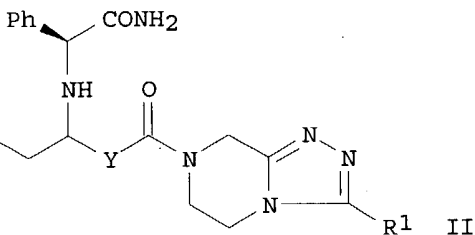
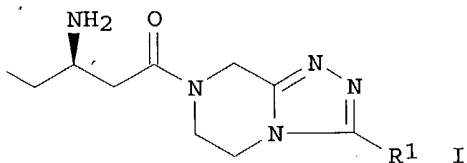


209995-38-0 CAPLUS
Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



1 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
 CESSION NUMBER: 2004:824045 CAPLUS
 CUMENT NUMBER: 141:332476
 TLE: Process for preparation of chiral β -amino acid derivatives
 VENTOR(S): Dreher, Spencer D.; Ikemoto, Norihiro; Njolito, Eugenia; Rivera, Nelo R.; Tellers, David M.; Xiao, Yi
 TENT ASSIGNEE(S): Merck & Co., Inc, USA
 URCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 CUMENT TYPE: Patent
 NGUAGE: English
 MILY ACC. NUM. COUNT: 1
 TENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085661	A2	20041007	WO 2004-US8533	20040319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-457128P	P 20030324
			US 2003-511210P	P 20031015



A process for the asym. synthesis of enantiomerically enriched β -amino acid derivs. I [R_1 = H, or alkyl, unsubstituted or substituted with one to five fluorines; R_2 = Ph, unsubstituted or independently substituted with one to five substituents: fluorine, trifluoromethyl, or trifluoromethoxy] in a suitable organic solvent is developed, which includes catalytic hydrogenation of Z-enamines II (Y = :CH), which was prepared by addition of L-phenylglycine amide to β -ketoesters III under acidic conditions, and subsequent catalytic hydrogenolysis of II (Y = CH₂). Thus, β -ketoester III (R_1 = CF₃; R_2 = 2,4,5-trifluorophenyl) obtained from 2,4,5-trifluorophenylacetic acid and 3-(trifluoromethyl)-5,6,7,8-tetrahydro[1,2,4]triazolo[4,3-a]pyrazine hydrochloride was added to L-phenylglycine amide to give Z-enamine II (R_1 = CF₃; R_2 = 2,4,5-trifluorophenyl), which after catalytic hydrogenation in the presence of platinum dioxide, followed by hydrogenolysis with palladium dihydroxide as catalyst gave compound I (R_1 = CF₃; R_2 = 2,4,5-trifluorophenyl) in 94.55% yield and 97% ee.

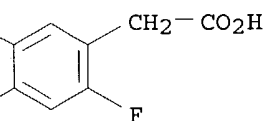
209995-38-0, 2,4,5-Trifluorophenylacetic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(asym. synthesis of chiral β -amino acid derivs. via addition of phenylglycine amide to triazolopyrazinyl β -ketoesters, followed by catalytic hydrogenation of enamines and catalytic hydrogenolysis)

209995-38-0 CAPLUS

Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



1 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

CESSION NUMBER: 2004:799587 CAPLUS

UMENT NUMBER: 141:296029

TITLE: Process for preparation of [1,2,4]triazolo[4,3-a]pyrazine derivatives

VENTOR(S): Ikemoto, Norihiro; Simmons, Bryon L.; Williams, J. Michael; Xu, Feng; Yang, Chunhua

TENT ASSIGNEE(S): Merck & Co., Inc., USA

URCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

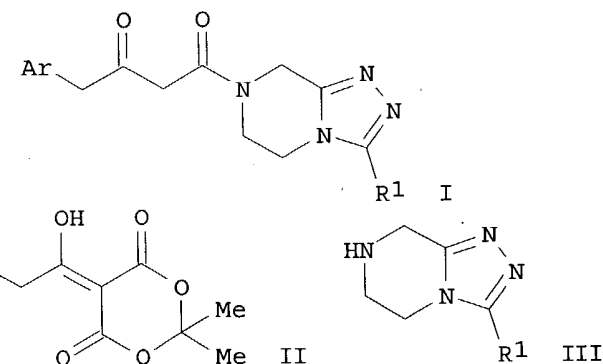
UMENT TYPE: Patent

NGUAGE: English

MILY ACC. NUM. COUNT: 1

ENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083212	A1	20040930	WO 2004-US7834	20040312
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
ORITY APPLN. INFO.:			US 2003-455458P	P 20030318



An process for the preparation of the title compds. represented by the formula I [R1 = H, (fluoro)alkyl; Ar = (un)substituted phenyl; or an acid salt thereof] in the presence of acid or base in a suitable organic solvent, which the process comprises the step of treating a compound of structural formula II with 5,6,7,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrazine of structural formula III, is disclosed. For example, I (R1 = Cf3, Ar = 2,4,5-F3C6H2) was given in a multi-step synthesis starting from 2,4,5-trifluorophenylacetic acid. Thus, the present invention provides a process producing the title compound, which are useful in the synthesis of dipeptidyl peptidase-IV inhibitors for the treatment of type 2 diabetes (no data).

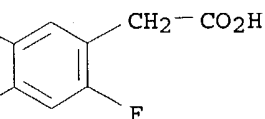
209995-38-0, 2,4,5-Trifluorophenylacetic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of [1,2,4]triazolo[4,3-a]pyrazine derivs.)

209995-38-0 CAPLUS

Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

1 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

CESSION NUMBER: 2004:331830 CAPLUS

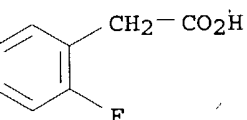
UMENT NUMBER: 140:339071

TLE: Allylation and oxidation process for the preparation of trifluorophenylacetic acids from trifluorophenyl halides and allyl bromide

INVENTOR(S): Ikemoto, Norihiro; Dreher, Spencer D.
INVENTOR ASSIGNMENT(S): USA
INVENTOR: U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
INVENT TYPE: Patent
LANGUAGE: English
PRIORITY ACC. NUM. COUNT: 1
INVENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077901	A1	20040422	US 2003-680025	20031007
PRIORITY APPLN. INFO.:			US 2002-416891P	P 20021008

INVENTOR SOURCE(S): CASREACT 140:339071; MARPAT 140:339071
Trifluorophenylacetic acids [e.g., (2,4,5-Trifluorophenyl)acetic acid] are prepared in high yield and selectivity by using a Grignard reagent (e.g., isopropylmagnesium chloride) and an allylating agent (e.g., allyl bromide) to allylate a halotrifluorobenzene (e.g., 1-bromo-2,4,5-trifluorobenzene) to give an allyltrifluorobenzene (e.g., 1-allyl-2,4,5-trifluorobenzene) which is then subjected to catalytic (e.g., RuCl₃) oxidation with an oxidant (e.g., sodium periodate).
209995-38-0P, (2,4,5-Trifluorophenyl)acetic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(allylation and oxidation process for the preparation of trifluorophenylacetic acids from trifluorophenyl halides and allyl bromide)
209995-38-0 CAPLUS
Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)

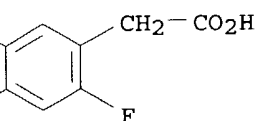


ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
SESSION NUMBER: 2004:293442 CAPLUS
DOCUMENT NUMBER: 140:321111
TITLE: Process for the synthesis of (trifluorophenyl)acetic acids
INVENTOR(S): Armstrong, Joseph D.; Dreher, Spencer D.; Ikemoto, Norihiro
INVENTOR ASSIGNMENT(S): USA
INVENTOR: U.S. Pat. Appl. Publ., 6 pp., which
CODEN: USXXCO
INVENT TYPE: Patent
LANGUAGE: English
PRIORITY ACC. NUM. COUNT: 1
INVENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004068141	A1	20040408	US 2003-679986	20031007
PRIORITY APPLN. INFO.:			US 2002-416790P	P 20021008

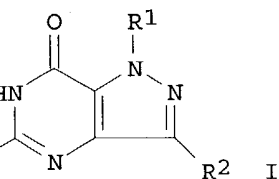
INVENTOR SOURCE(S): CASREACT 140:321111; MARPAT 140:321111
Trifluorophenylacetic acids (e.g., 2,4,5-trifluorophenylacetic acid (I)) are prepared in high yield and selectivity by the trifluorophenylation of a dialkyl malonate (e.g., di-Et malonate) with a trifluorophenyl halide (e.g., 1-bromo-2,4,5-trifluorobenzene) in the presence of a deprotonating agent (e.g., sodium tert-butoxide) using a Cu(I) salt (e.g., cuprous chloride) as a catalyst to give a dialkyl (trifluorophenyl)malonate intermediate [e.g., di-Et 2-(2,4,5-trifluorophenyl)malonate] which is subjected to saponification with a base (e.g., NaOH) and decarboxylation of the (trifluorophenyl)malonic acid [e.g., 2-(2,4,5-trifluorophenyl)malonic acid] with an acid (e.g., aqueous hydrogen chloride) to produce I.
209995-38-0P, (2,4,5-Trifluorophenyl)acetic acid
RL: SPN (Synthetic preparation); PREP (Preparation)

(process for the synthesis of (trifluorophenyl)acetic acids)
 209995-38-0 CAPLUS
 Benzeneacetic acid, 2,4,5-trifluoro- (9CI) (CA INDEX NAME)



ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
 SESSION NUMBER: 2003:356304 CAPLUS
 DOCUMENT NUMBER: 138:368899
 TITLE: Preparation of pyrazolopyrimidinones as PDE9 inhibitors for treatment of insulin resistance syndrome and type 2 diabetes
 INVENTOR(S): Fryburg, David Albert; Gibbs, Earl Michael
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 104 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037432	A1	20030508	WO 2002-IB3754	20020912
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1444009 A1 20040811 EP 2002-762720 20020912 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK US 2004023989 A1 20040205 US 2002-283814 20021029 PRIORITY APPLN. INFO.: US 2001-336981P P 20011102 WO 2002-IB3754 W 20020912				
OTHER SOURCE(S): MARPAT 138:368899				



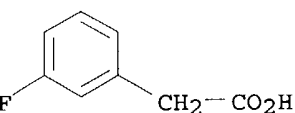
Pyrazolopyrimidinones I [R1 = H, alkyl; R2 = alkyl, cycloalkyl, heterocyclic; R3 = (un)substituted alkyl] were prepared for use as PDE9 inhibitors in treating insulin resistance syndrome (IRS), hypertension and/or type 2 diabetes. Thus, Me2CHCOME was treated with EtO2CCO2Et to give Me2CHCOCH2CO2Et which was cyclized with N2H4 to give Et 5-isopropyl-1H-pyrazole-3-carboxylate. This ester was hydrolyzed to the acid, nitrated, amidated, and reduced to give 4-amino-5-isopropyl-1H-pyrazole-3-carboxamide. Cyclization of this amide with 3-ClC6H4CH2CO2H gave I [R1 = H, R2 = CHMe2, R3 = 3-ClC6H4CH2] which reduced plasma

glucose, triglycerides, and insulin at 10 mg/kg day for 5 days orally in mice.

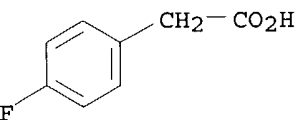
331-25-9, 3-Fluorophenylacetic acid 405-50-5,
4-Fluorophenylacetic acid 451-82-1, 2-Fluorophenylacetic acid
658-93-5, 3,4-Difluorophenylacetic acid 1878-65-5,
3-Chlorophenylacetic acid 1878-66-6, 4-Chlorophenylacetic acid
2444-36-2, 2-Chlorophenylacetic acid 5807-30-7,
3,4-Dichlorophenylacetic acid 6575-24-2, 2,6-
Dichlorophenylacetic acid 37777-76-7, 2-Chloro-6-
fluorophenylacetic acid 81228-09-3, 2,4-Difluorophenylacetic
acid 85068-27-5, 2,5-Difluorophenylacetic acid
85068-28-6, 2,6-Difluorophenylacetic acid 105184-38-1,
3,5-Difluorophenylacetic acid 114152-23-7, 2,3,6-
Trifluorophenylacetic acid 145689-41-4,
2,3-Difluorophenylacetic acid 177985-32-9, 2-Chloro-4-
fluorophenylacetic acid 194943-83-4, 2-Fluoro-3-
trifluoromethylphenylacetic acid 209991-63-9 239135-52-5
, 5-Fluoro-2-trifluoromethylphenylacetic acid 521300-44-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidinones as PDE9 inhibitors for treatment of
insulin resistance syndrome and type 2 diabetes)

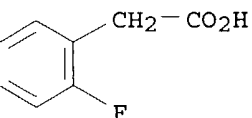
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Benzeneacetic acid, 3-fluoro- (9CI) (CA INDEX NAME)



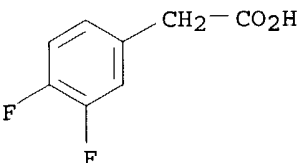
405-50-5 CAPLUS
Benzeneacetic acid, 4-fluoro- (9CI) (CA INDEX NAME)



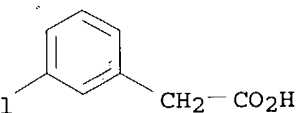
451-82-1 CAPLUS
Benzeneacetic acid, 2-fluoro- (9CI) (CA INDEX NAME)



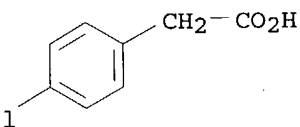
658-93-5 CAPLUS
Benzeneacetic acid, 3,4-difluoro- (9CI) (CA INDEX NAME)



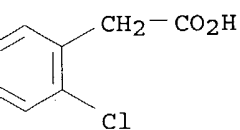
1878-65-5 CAPLUS
Benzeneacetic acid, 3-chloro- (9CI) (CA INDEX NAME)



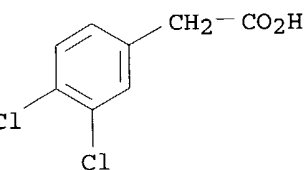
N 1878-66-6 CAPLUS
N Benzeneacetic acid, 4-chloro- (9CI) (CA INDEX NAME)



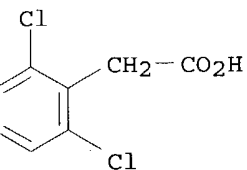
N 2444-36-2 CAPLUS
N Benzeneacetic acid, 2-chloro- (9CI) (CA INDEX NAME)



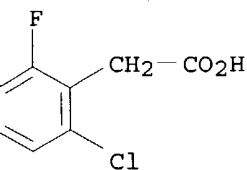
N 5807-30-7 CAPLUS
N Benzeneacetic acid, 3,4-dichloro- (9CI) (CA INDEX NAME)



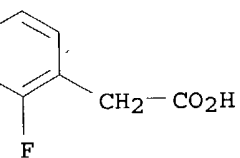
RN 6575-24-2 CAPLUS
CN Benzeneacetic acid, 2,6-dichloro- (9CI) (CA INDEX NAME)



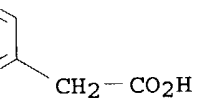
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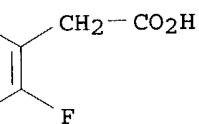
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CN Benzeneacetic acid, 2,4-difluoro- (9CI) (CA INDEX NAME)



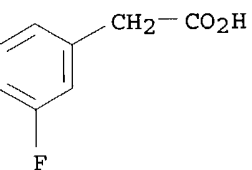
85068-27-5 CAPLUS
Benzeneacetic acid, 2,5-difluoro- (9CI) (CA INDEX NAME)



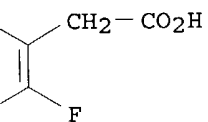
85068-28-6 CAPLUS
Benzeneacetic acid, 2,6-difluoro- (9CI) (CA INDEX NAME)



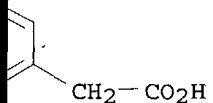
105184-38-1 CAPLUS
Benzeneacetic acid, 3,5-difluoro- (9CI) (CA INDEX NAME)



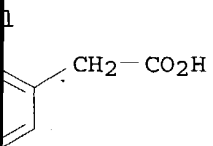
114152-23-7 CAPLUS
Benzeneacetic acid, 2,3,6-trifluoro- (9CI) (CA INDEX NAME)



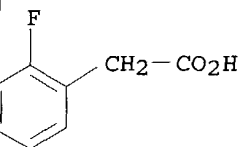
145689-41-4 CAPLUS
Benzeneacetic acid, 2,3-difluoro- (9CI) (CA INDEX NAME)



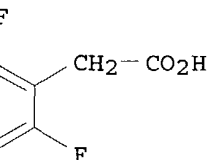
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benzeneacetic acid, 2-chloro-4-fluoro- (9CI) (CA INDEX NAME)



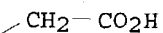
94943-83-4 CAPLUS
benzeneacetic acid, 2-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



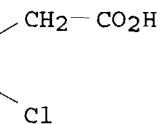
209991-63-9 CAPLUS
Benzeneacetic acid, 2,4,6-trifluoro- (9CI) (CA INDEX NAME)



239135-52-5 CAPLUS
Benzeneacetic acid, 5-fluoro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



521300-44-7 CAPLUS
Benzeneacetic acid, 2-chloro-6-methyl- (9CI) (CA INDEX NAME)



ENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

SION NUMBER: 2003:5931 CAPLUS

ENT NUMBER: 138:73182

: Preparation of quinoline derivatives and quinazoline
derivatives inhibiting autophosphorylation of
hepatocyte growth factor receptor as antitumor agents
Fujiwara, Yasunari; Senga, Terufumi; Nishitoba,
Tsuyoshi; Osawa, Tatsushi; Miwa, Atsushi; Nakamura,
Kazuhide

T ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan

E: PCT Int. Appl., 441 pp.

CODEN: PIXXD2

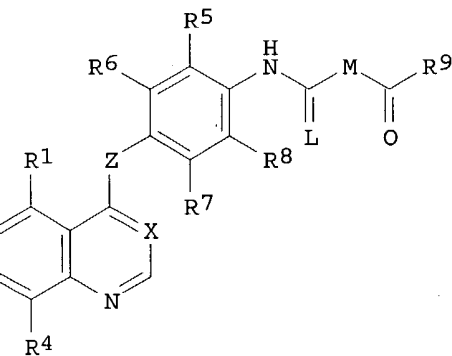
ENT TYPE: Patent

AGE: Japanese

Y ACC. NUM. COUNT: 1

T INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000660	A1	20030103	WO 2002-JP6239	20020621
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1411046	A1	20040421	EP 2002-738777	20020621
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
ITY APPLN. INFO.:			JP 2001-190238	A 20010622
			WO 2002-JP6239	W 20020621
R SOURCE(S):	MARPAT 138:73182			



I

The title compds. represented by the formula (I) or pharmaceutically acceptable salts or solvates thereof [wherein X = CH, N; Z = O, S; L = O, S; M is CR10R11 (R10, R11 = H, alkyl, alkoxy) or NR12 (R12 = H, alkyl); R1, R2, R3 = H, HO, halo, NO2, (un)substituted NH2, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, (un)substituted C1-6 alkoxy, (un)saturated and (un)substituted 3 to 8-membered carbocyclic or heterocyclic group; R4 = H; R5-R8 = H, halo, C1-4 alkyl, C1-4 alkoxy; R9 = C1-6 alkyl optionally substituted by -T-R15 or -NR16R17 (wherein T = oxygen, sulfur, NH; R14 = (un)substituted and (un)saturated 3 to 8-membered carbocyclic or heterocyclic

group; and R15-R17 = C1-6 alkyl, (un)substituted and (un)saturated 3 to 8-membered carbocyclic or heterocyclic group), -NR18R19 (R18, R19 = H, optionally substituted C1-6 alkyl, (un)substituted and (un)saturated 3 to 8-membered carbocyclic or heterocyclic group)] are prepared These compds. are useful for the treatment of malignant tumors such as stomach cancer, brain tumor, large intestine (colorectal) cancer, pancreatic cancer, lung cancer, renal cancer, ovarian cancer, and prostate cancer. Thus, 1.89 mL phenylacetyl chloride and 2.09 g potassium thiocyanate were dissolved in 15 mL MeCN, stirred at 80° for 1 h, and extracted with CHCl₃, followed by evaporation of CHCl₃ under reduced pressure to give crude phenylacetyl thiocyanate which was dissolved in toluene/EtOH (1/1) and stirred with 3.03 g 4-[(6,7-dimethoxy-4-quinolyl)oxy]-3-fluoroaniline to give N-[4-[(6,7-dimethoxy-4-quinolyl)oxy]-3-fluorophenyl]-N'-(phenylacetyl)thiourea (II). II showed IC₅₀ of 0.0087 µM for inhibiting Met phosphorylation of epidermoid carcinoma cell (A431) stimulated by human recombinant hepatocyte growth factor (HGF). II at 100 mg/kg inhibited by 70% the proliferation of human brain tumor cell (U87MG) transplanted in nude mice.

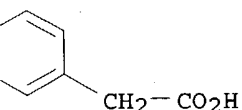
331-25-9, 3-Fluorophenylacetic acid **405-50-5**,
4-Fluorophenylacetic acid 451-82-1, 2-Fluorophenylacetic acid
658-93-5, 3,4-Difluorophenylacetic acid **1878-66-6**,
4-Chlorophenylacetic acid 2444-36-2, 2-Chlorophenylacetic acid
6575-24-2, 2,6-Dichlorophenylacetic acid **81228-09-3**,
2,4-Difluorophenylacetic acid 85068-27-5, 2,5-
Difluorophenylacetic acid 85068-28-6, 2,6-Difluorophenylacetic
acid 105184-38-1, 3,5-Difluorophenylacetic acid
114152-23-7, 2,3,6-Trifluorophenylacetic acid
145689-41-4, 2,3-Difluorophenylacetic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of quinoline derivs. inhibiting autophosphorylation of hepatocyte growth factor receptor as antitumor agents)

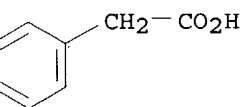
331-25-9 CAPLUS

Benzeneacetic acid, 3-fluoro- (9CI) (CA INDEX NAME)



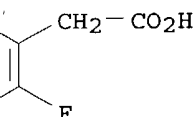
405-50-5 CAPLUS

Benzeneacetic acid, 4-fluoro- (9CI) (CA INDEX NAME)



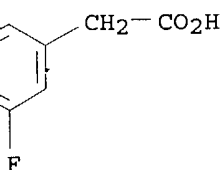
451-82-1 CAPLUS

Benzeneacetic acid, 2-fluoro- (9CI) (CA INDEX NAME)

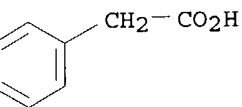


658-93-5 CAPLUS

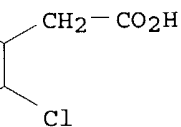
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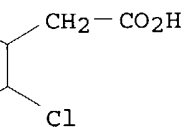
1878-66-6 CAPLUS
Benzeneacetic acid, 4-chloro- (9CI) (CA INDEX NAME)



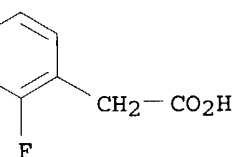
2444-36-2 CAPLUS
Benzeneacetic acid, 2-chloro- (9CI) (CA INDEX NAME)



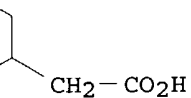
6575-24-2 CAPLUS
Benzeneacetic acid, 2,6-dichloro- (9CI) (CA INDEX NAME)



81228-09-3 CAPLUS
Benzeneacetic acid, 2,4-difluoro- (9CI) (CA INDEX NAME)

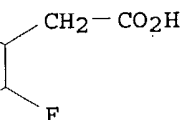


85068-27-5 CAPLUS
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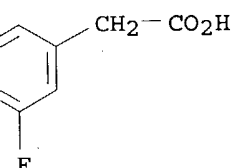


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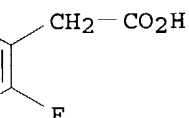
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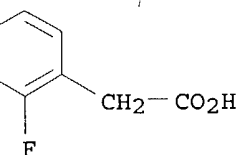
105184-38-1 CAPLUS
Benzeneacetic acid, 3,5-difluoro- (9CI) (CA INDEX NAME)



114152-23-7 CAPLUS
Benzeneacetic acid, 2,3,6-trifluoro- (9CI) (CA INDEX NAME)



145689-41-4 CAPLUS
Benzeneacetic acid, 2,3-difluoro- (9CI) (CA INDEX NAME)



RENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

SSION NUMBER: 2002:658395 CAPLUS

MENT NUMBER: 137:193937

E: A method of forming resist patterns in a semiconductor
device and a semiconductor washing liquid used in said
method

NTOR(S): Hyon, Man-Sok

NT ASSIGNEE(S): S. Korea

CE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

MENT TYPE: Patent

UAGE: English

LY ACC. NUM. COUNT: 1

ENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002067304 A1 20020829 WO 2002-KR188 20020207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
KR 2002068679 A 20020828 KR 2001-8876 20010222
US 2004072108 A1 20040415 US 2003-469175 20030821
PRIORITY APPLN. INFO.: KR 2001-8876 A 20010222
WO 2002-KR188 W 20020207

This invention relates to a resist patterning method preventing resist pattern collapse, which is occurred as the min. pattern size becomes smaller, in photolithog. for making semiconductor device and also introduce novel rinse liquid in which fluorocarbon surfactant having hydrophobic group and hydrophilic group is dissolved in deionized H2O and have low surface tension for preventing resist pattern collapse in wet development method. With this invention, the fine resist pattern can be obtained without resist pattern collapse from conventional wet development method with no addnl. specific instrument for prevention of resist pattern collapse.

653-21-4, 2,3,4,5,6-Pentafluorophenylacetic acid

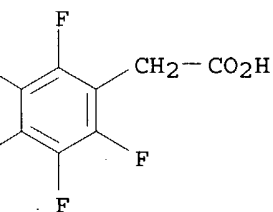
114152-23-7, 2,3,6-Trifluorophenylacetic acid

RL: NUU (Other use, unclassified); USES (Uses)

(method of forming resist patterns in semiconductor device and semiconductor washing liquid used in said method)

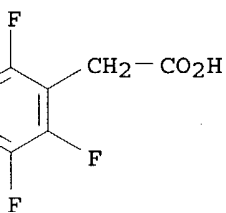
653-21-4 CAPLUS

Benzeneacetic acid, 2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



114152-23-7 CAPLUS

Benzeneacetic acid, 2,3,6-trifluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT